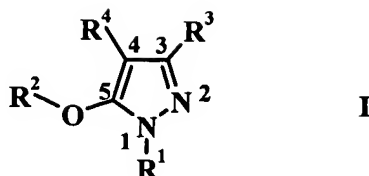


We claim

1. A compound according to formula I



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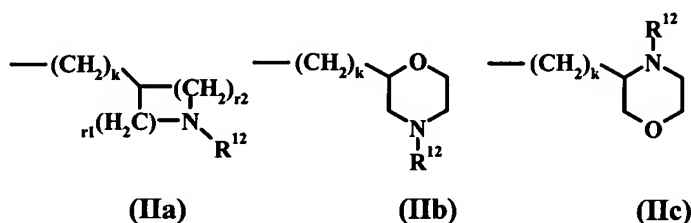
wherein

R<sup>1</sup> is selected from the group consisting of C<sub>1-6</sub>alkyl, C<sub>1-6</sub>haloalkyl, C<sub>3-6</sub>alkenyl, C<sub>3-6</sub>alkynyl, C<sub>3-7</sub>cycloalkyl, C<sub>1-3</sub>alkoxy-C<sub>1-3</sub>alkyl, phenyl and benzyl, wherein,

10 said phenyl and said benzyl optionally substituted with one to three substituents independently selected from the group consisting of C<sub>1-6</sub>alkyl, C<sub>1-</sub> haloalkyl, C<sub>1-6</sub>alkoxy, C<sub>1-6</sub>haloalkoxy, C<sub>1-6</sub>alkylthio, nitro, halogen and cyano;

R<sup>2</sup> is phenyl or pyridyl optionally substituted with one to three groups independently selected from the group consisting of halogen, cyano, C<sub>1-6</sub>alkyl, C<sub>1-6</sub>alkoxy, C<sub>1-6</sub>alkoxycarbonyl, and CONR<sup>6</sup>R<sup>7</sup>;

15 R<sup>3</sup> is substituted C<sub>1-6</sub>alkyl, substituted C<sub>1-3</sub>alkoxy-C<sub>1-3</sub>alkyl, substituted C<sub>3-6</sub>alkenyl, C<sub>3-7</sub>cycloalkyl, optionally substituted C<sub>1-</sub> alkoxy, (CH<sub>2</sub>)<sub>n</sub>R<sup>5</sup>, CH(OH)R<sup>5</sup>, -(CH<sub>2</sub>)<sub>o</sub>-O-(CH<sub>2</sub>)<sub>p</sub>R<sup>5</sup>, NR<sup>6</sup>R<sup>7</sup>, C(=Y)Z, -X(C=Y)Z or **IIa-c**;



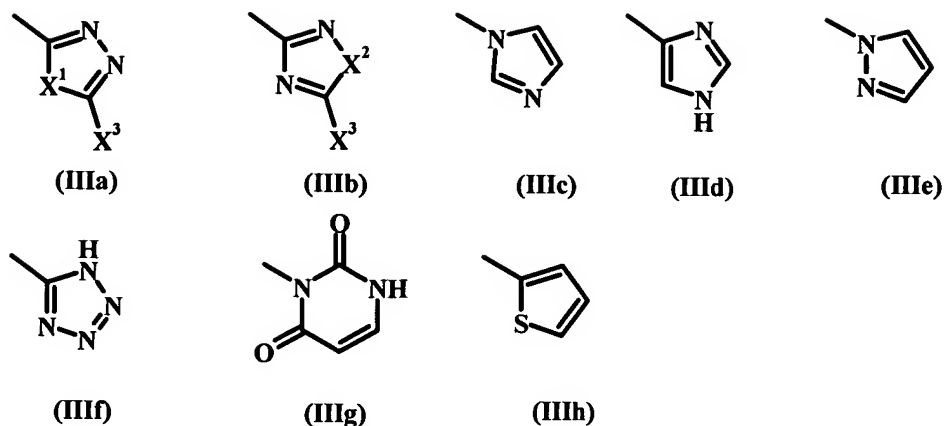
wherein,

20 said alkyl, said C<sub>1-3</sub> alkoxy-C<sub>1-3</sub> alkyl and said alkenyl are substituted by -OH, -NR<sup>6</sup>R<sup>7</sup>, -C(=Y)Z, -X(C=Y)Z, CN, -S(O)<sub>q</sub>-C<sub>1-6</sub> alkyl; -SO<sub>2</sub>NR<sup>6</sup>R<sup>7</sup>, -SO<sub>2</sub>NHNH<sub>2</sub>, or -NR<sup>6</sup>SO<sub>2</sub>-C<sub>1-6</sub> alkyl;

said alkoxy is optionally substituted by -OH, -NR<sup>6</sup>R<sup>7</sup>, -C(=Y)Z, -X(C=Y)Z, -S(O)<sub>q</sub>-C<sub>1-6</sub> alkyl; -SO<sub>2</sub>NR<sup>6</sup>R<sup>7</sup> or -SO<sub>2</sub>NHNH<sub>2</sub>;

25 R<sup>12</sup> is hydrogen, C<sub>1-6</sub>alkyl or -C(=Y)Z;

R<sup>5</sup> is a phenyl or a heteroaryl ring according to formula **IIIa-IIIh**;



wherein

$X^1$  is selected from the group consisting of  $-R^{10}C=CR^{10a}$ -,  $-O$ -,  $-S$ -,  $-NR^6$ - and  $-CHR^6$ ;

$X^2$  is selected from the group consisting of  $-R^{10}C=CR^{10a}$ -,  $-O$ -,  $-S$ -, and  $-CHR^6$ ;

$X^3$  is selected from the group consisting of hydrogen, hydroxyl and thiol;

$R^{10}$  and  $R^{10a}$  are independently are selected from the group consisting of hydrogen or  $C_{1-6}$  alkyl optionally substituted with one or two substituents independently selected from the group consisting of hydroxy,  $C_{1-6}$ alkoxy, thiol,  $C_{1-6}$ alkylthio,  $C_{1-6}$  alkylsulfinyl,  $C_{1-6}$ alkylsulfonyl, halogen, amino,  $C_{1-6}$ alkylamino,  $C_{1-3}$ dialkylamino, amino- $C_{1-3}$ alkyl,  $C_{1-3}$ alkylamino- $C_{1-3}$ alkyl, and  $C_{1-3}$ dialkylamino- $C_{1-3}$ alkyl;

said phenyl and said heteroaryl ring optionally substituted with halo,  $-OR^6$ ,  $-NR^6R^7$ ,  $-C(=O)Z$ ,  $-X(C=O)Z$

$R^4$  is  $C_{1-6}$ alkyl,  $C_{2-6}$ alkenyl,  $C_{2-6}$ alkynyl,  $C_{3-7}$ cycloalkyl,  $C_{1-3}$ alkoxy- $C_{1-3}$ alkyl,  $-(CH_2)_nR^{11}$  or  $-(CH_2)_o-O-(CH_2)_pR^{11}$ ;

wherein,

said alkyl, said alkenyl, said alkynyl and said cycloalkyl are optionally substituted by  $-OH$ ,  $-OR^6$ ,  $-NR^6R^7$ ,  $-C(=Y)Z$ ,  $-X(C=Y)Z$ ,  $-S(O)_q-C_{1-6}$ alkyl,  $-SO_2NR^6R^7$  or  $-SO_2NHNH_2$ ;

$R^{11}$  is a phenyl or a heteroaryl ring selected from the group consisting of pyridinyl, pyrimidinyl, pyrazinyl, pyrrole, imidazole, pyrazole and thiophene, said heteroaryl ring and said phenyl optionally substituted with one to three groups independently selected from the group consisting of halogen, cyano,  $C_{1-3}$  alkyl,  $C_{1-3}$  haloalkyl and  $C_{1-3}$  alkoxy; or  $R^{11}$  is  $N[(CH_2)_2]_2W$  wherein  $W$  is selected from the group consisting of  $NR^6$ ,  $(CH_2)_s$ ,  $N(C=O)Z$ ,  $CHOR^6$ ,  $CHR^6$ ,  $CHNHC(=O)Z$  and  $CHNR^6R^7$ ;

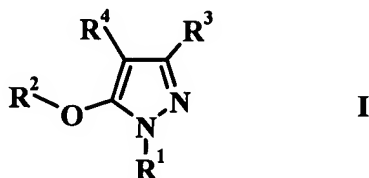
$n$ ,  $o$ ,  $p$  and  $q$  are as defined below and  $s$  is 0 or 1;

$R^6$ ,  $R^7$ ,  $R^8$  and  $R^9$  (i) taken independently are selected from the group consisting of hydrogen,  $C_{1-6}$ alkyl,  $C_{1-6}$ hydroxyalkyl,  $C_{1-3}$ alkoxy- $C_{1-3}$ alkyl  $C_{1-3}$ alkylamino- $C_{1-3}$ alkyl and  $C_{1-3}$  dialkylamino- $C_{1-3}$ alkyl or (ii) when both  $R^6$  and  $R^7$  are attached to the same nitrogen atom they may be taken together, along with the nitrogen, to form a pyrrolidine, piperidine,  
 5 piperazine or morpholine;  
 $X$ , and  $Y$  are independently O or  $NR^6$ ;  
 $Z$  is hydrogen, hydroxyl,  $C_{1-6}$ alkoxy,  $NR^6R^{13}$ ,  $C_{1-6}$ alkyl,  $C_{1-3}$ alkoxy- $C_{1-3}$ alkyl wherein  $R^{13}$  is  $R^7$  or phenyl optionally substituted with one to three groups independently selected from the group consisting of halogen, cyano,  $C_{1-3}$ alkyl,  $C_{1-3}$ haloalkyl and  $C_{1-3}$ alkoxy;  
 10  $n$  is 0 to 3;  
 $o$  and  $p$  are independently 0 to 4 and  $o + p \leq 5$ ;  
 $q$  is 0 to 2;  
 $k$ ,  $r_1$  and  $r_2$  are independently 0 to 4, and  $5 \geq (r_1 + r_2) \geq 2$ ; and,  
 acid addition salts, hydrates and solvates thereof; with the proviso that when  $R^4$  is  $-(CH_2)_nR^{11}$ ,  $n$  is 1 and  
 15  $R^{11}$  is substituted phenyl,  $R^2$  is other than unsubstituted phenyl.

2. A compound according to claim 1 wherein:  
 $R^1$  is selected from the group consisting of  $C_{1-6}$ alkyl,  $C_{1-6}$ haloalkyl,  $C_{3-7}$ cycloalkyl,  $C_{1-3}$ alkoxy-  
 $C_1$  alkyl and optionally-substituted phenyl;  
 20  $R^2$  is optionally substituted phenyl; and,  
 $R^4$  is  $C_{1-6}$  alkyl,  $C_{3-7}$  cycloalkyl,  $(CH_2)_nR^{11}$  or  $-(CH_2)_o-O-(CH_2)_pR^{11}$ ; wherein,  
 said alkyl and said cycloalkyl are optionally substituted by-OH,  $-OR^6$ ,  $-NR^8R^9$ ,  
 $-C(=Y)Z$  or  $-X(C=Y)Z$ ;  
 $R^{11}$  is a phenyl optionally substituted with one to three groups independently selected from  
 25 the group consisting of halogen, cyano,  $C_{1-3}$ alkyl,  $C_{1-3}$ haloalkyl and  $C_{1-3}$ alkoxy.
3. A compound according to claim 2 wherein  $R^3$  is substituted  $C_{1-6}$  alkyl, **IIa-c** or  $-(CH_2)_nR^5$  wherein  $R^5$  is **IIIa-IIIh**.
- 30 4. A compound according to claim 2 wherein  $R^3$  is  $-(CH_2)_nNR^6R^7$ ,  $-(CH_2)_nC(=O)Z$  or  $-(CH_2)_nXC(=O)Z$ .
5. A compound according to claim 1 wherein:

- $R^1$  is selected from the group consisting of  $C_{1-6}$ alkyl,  $C_{1-6}$ haloalkyl,  $C_{3-7}$ cycloalkyl,  $C_{1-3}$ alkoxy- $C_{1-3}$ alkyl and optionally substituted phenyl;  
 $R^2$  is optionally substituted phenyl; and,  
 $R^4$  is  $C_{1-6}$ alkyl,  $C_{3-7}$ cycloalkyl,  $-(CH_2)_nR^{11}$  or  $-(CH_2)_o-O-(CH_2)_pR^{11}$ ; wherein,  
5        said alkyl and said cycloalkyl are optionally substituted by  $-OH$ ,  $-OR^6$ ,  $-NR^8R^9$ ,  
 $-C(=Y)Z$ ,  $-X(C=Y)Z$ ;  
 $R^{11}$  is a heteroaryl ring selected from the group consisting of pyridinyl, pyrimidinyl  
pyrazinyl, pyrrole, imidazole, pyrazole and thiophene, said heteroaryl ring  
optionally substituted with one to three groups independently selected from the  
10        group consisting of halogen, cyano,  $C_{1-3}$ alkyl,  $C_{1-3}$ haloalkyl and  $C_{1-3}$ alkoxy.
6. A compound according to claim 5 wherein  $R^3$  is substituted  $C_{1-6}$  alkyl, **IIa-c** or  $(CH_2)_nR^5$  wherein  
 $R^5$  is **IIIa-IIIh**.
- 15    7. A compound according to claim 5 wherein  $R^3$  is  $(CH_2)_nNR^6R^7$ ,  $(CH_2)_nC(=O)Z$ , or  $(CH_2)_nXC(=O)Z$ .
8. A compound according to claim 1 wherein:  
 $R^1$  is selected from the group consisting of  $C_{1-6}$ alkyl,  $C_{1-6}$ haloalkyl,  $C_{3-7}$ cycloalkyl,  
 $C_{1-3}$ alkoxy- $C_{1-3}$ alkyl and optionally substituted phenyl;  
20         $R^2$  is optionally substituted phenyl; and,  
 $R^4$  is  $C_{1-6}$ alkyl,  $C_{3-7}$ cycloalkyl,  $-(CH_2)_nR^{11}$  or  $-(CH_2)_o-O-(CH_2)_pR^{11}$ ; wherein,  
said alkyl and said cycloalkyl are optionally substituted by  $-OH$ ,  $-OR^6$ ,  $-NR^8R^9$ ,  
 $-C(=Y)Z$ ,  $-X(C=Y)Z$ ;  
 $R^{11}$  is  $N[(CH_2)_2]_2W$  wherein  $W$  is selected from the group consisting of  $NR^6$ ,  $(CH_2)_s$ ,  
25        and  $N(C=O)Z$ ,  $CHOR^6$ ,  $CHR^6$ ,  $CHNHC(=O)Z$  and  $CHNR^6R^7$ .
9. A compound according to claim 8 wherein  $R^3$  is substituted  $C_{1-6}$  alkyl, **IIa-c** or  $(CH_2)_nR^5$  wherein  
 $R^5$  is **IIIa-IIIh**.
- 30    10. A compound according to claim 8 wherein  $R^3$  is  $-(CH_2)_nNR^6R^7$ ,  $-(CH_2)_nC(=O)Z$  or  
 $-(CH_2)_nXC(=O)Z$ .

11. A method for treating an HIV infection, or preventing an HIV infection, or treating AIDS or ARC, comprising administering to a host in need thereof a therapeutically effective amount of a compound of formula I



5 wherein

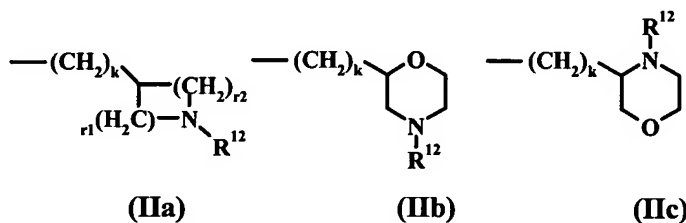
R<sup>1</sup> is selected from the group consisting of C<sub>1-6</sub>alkyl, C<sub>1-6</sub>haloalkyl, C<sub>3-6</sub>alkenyl, C<sub>3-6</sub>alkynyl, C<sub>3-7</sub>cycloalkyl, C<sub>1-3</sub>alkoxy-C<sub>1-3</sub>alkyl, phenyl and benzyl, wherein,

said phenyl and said benzyl optionally substituted with one to three substituents independently selected from the group consisting of C<sub>1-6</sub>alkyl, C<sub>1-6</sub>haloalkyl,

10 C<sub>1-6</sub>alkoxy, C<sub>1-6</sub>haloalkoxy, C<sub>1-6</sub>alkylthio, nitro, halogen and cyano;

R<sup>2</sup> is phenyl or pyridyl optionally substituted with one to three groups independently selected from the group consisting of halogen, cyano, C<sub>1-6</sub> alkyl, C<sub>1-6</sub> alkoxy, C<sub>1-6</sub> alkoxycarbonyl, and CONR<sup>6</sup>R<sup>7</sup>;

15 R<sup>3</sup> is substituted C<sub>1-6</sub>alkyl, substituted C<sub>1-3</sub>alkoxy-C<sub>1-3</sub>alkyl, substituted C<sub>3-6</sub>alkenyl, C<sub>3-7</sub>cycloalkyl, optionally substituted C<sub>1-6</sub>alkoxy, -(CH<sub>2</sub>)<sub>n</sub>R<sup>5</sup>, -CH(OH)R<sup>5</sup>, -(CH<sub>2</sub>)<sub>6</sub>-O-(CH<sub>2</sub>)<sub>p</sub>R<sup>5</sup>, -NR<sup>6</sup>R<sup>7</sup>, -C(=Y)Z, -X(C=Y)Z or **IIa-c**;



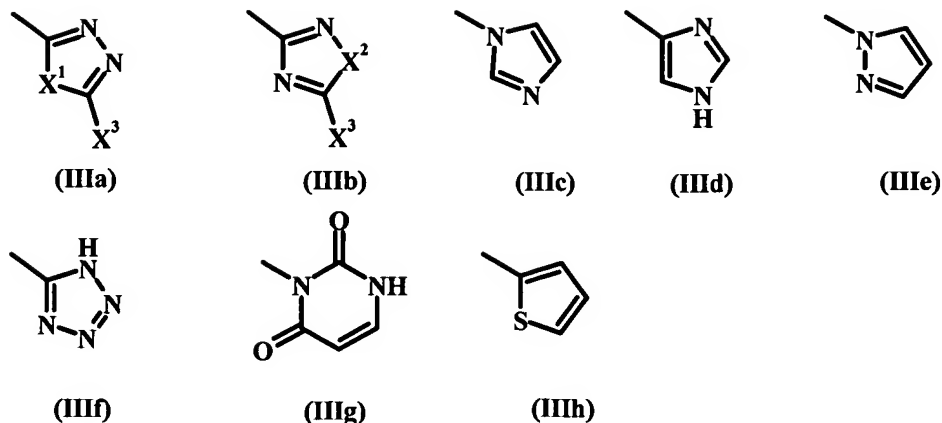
wherein,

20 said alkyl, said C<sub>1-3</sub>alkoxy-C<sub>1-3</sub>alkyl and said alkenyl are substituted by -OH, -NR<sup>6</sup>R<sup>7</sup>, -C(=Y)Z, -X(C=Y)Z, CN, -S(O)<sub>q</sub>-C<sub>1-6</sub> alkyl, -SO<sub>2</sub>NR<sup>6</sup>R<sup>7</sup>, -SO<sub>2</sub>NHNH<sub>2</sub> or -NR<sup>6</sup>SO<sub>2</sub>-C<sub>1-6</sub> alkyl;

said alkoxy is optionally substituted by -OH, -NR<sup>6</sup>R<sup>7</sup>, -C(=Y)Z, -X(C=Y)Z, -S(O)<sub>q</sub>-C<sub>1-6</sub> alkyl; -SO<sub>2</sub>NR<sup>6</sup>R<sup>7</sup> or -SO<sub>2</sub>NHNH<sub>2</sub>;

R<sup>12</sup> is hydrogen, C<sub>1-6</sub>alkyl or -C(=Y)Z;

25 R<sup>5</sup> is a phenyl or a heteroaryl ring according to formula **IIIa-IIIh**;



wherein

X<sup>1</sup> is selected from the group consisting of R<sup>10</sup>C=CR<sup>10a</sup>, -O-, -S-, -NR<sup>6</sup>- and -CHR<sup>6</sup>;

X<sup>2</sup> is selected from the group consisting of R<sup>10</sup>C=CR<sup>10a</sup>, -O-, -S-, and -CHR<sup>6</sup>-;

5 X<sup>3</sup> is selected from the group consisting of hydrogen, hydroxyl and thiol;

R<sup>10</sup> and R<sup>10a</sup> are independently are selected from the group consisting of hydrogen or C<sub>1-6</sub>alkyl optionally substituted with one or two substituents independently selected from the group consisting of hydroxy, C<sub>1-6</sub>alkoxy, thiol, C<sub>1-6</sub>alkylthio, C<sub>1-6</sub>alkylsulfinyl, C<sub>1-6</sub>alkylsulfonyl, halogen, amino, C<sub>1-6</sub>alkylamino, C<sub>1-3</sub>dialkylamino, amino-C<sub>1-3</sub>alkyl, C<sub>1-3</sub>alkylamino-C<sub>1-3</sub>alkyl, and C<sub>1-3</sub>dialkylamino-C<sub>1-3</sub>alkyl;

10

said phenyl and said heteroaryl ring optionally substituted with halo, -OR<sup>6</sup>, -NR<sup>6</sup>R<sup>7</sup>, C(=O)Z, -X(C=O)Z;

R<sup>4</sup> is C<sub>1-6</sub>alkyl, C<sub>2-6</sub>alkenyl, C<sub>2-6</sub>alkynyl, C<sub>3-7</sub>cycloalkyl, C<sub>1-3</sub>alkoxy-C<sub>1-3</sub>alkyl, -(CH<sub>2</sub>)<sub>n</sub>R<sup>11</sup> or -(CH<sub>2</sub>)<sub>o</sub>-O-(CH<sub>2</sub>)<sub>p</sub>R<sup>11</sup>; wherein,

15

said alkyl, said alkenyl, said alkynyl and said cycloalkyl are optionally substituted by -OH, -OR<sup>6</sup>, -NR<sup>8</sup>R<sup>9</sup>, -C(=Y)Z, -X(C=Y)Z, -S(O)<sub>q</sub>-C<sub>1-6</sub>alkyl, -SO<sub>2</sub>NR<sup>6</sup>R<sup>7</sup> or -SO<sub>2</sub>NHNH<sub>2</sub>;

R<sup>11</sup> is a phenyl or a heteroaryl ring selected from the group consisting of pyridinyl, pyrimidinyl, pyrazinyl, pyrrole, imidazole, pyrazole and thiophene said heteroaryl ring and said phenyl optionally substituted with one to three groups independently selected from the group consisting of halogen, cyano, C<sub>1-3</sub>alkyl, C<sub>1-3</sub>haloalkyl and C<sub>1-3</sub>alkoxy; or R<sup>11</sup> is N[(CH<sub>2</sub>)<sub>2</sub>]<sub>2</sub>W wherein W is selected from the group consisting of NR<sup>6</sup>, (CH<sub>2</sub>)<sub>s</sub>, -N(C=O)Z, CHOR<sup>6</sup>, CHR<sup>6</sup>CHNHC(=O)Z and CHNR<sup>6</sup>R<sup>7</sup>;

20

n, o, p and q are as defined below and s is 0 or 1;

25

R<sup>6</sup>, R<sup>7</sup>, R<sup>8</sup> and R<sup>9</sup> (i) taken independently are selected from the group consisting of hydrogen, C<sub>1-6</sub>alkyl, C<sub>1-6</sub>hydroxyalkyl, C<sub>1-6</sub>alkoxy-C<sub>1-3</sub>alkyl, C<sub>1-3</sub>alkylamino-C<sub>1-3</sub>alkyl and C<sub>1-3</sub>

dialkylamino-C<sub>1-3</sub>alkyl or (ii) when both R<sup>6</sup> and R<sup>7</sup> are attached to the same nitrogen atom they may be taken together, along with the nitrogen, to form a pyrrolidine, piperidine, piperazine or morpholine;

X, and Y are independently -O- or -NR<sup>6</sup>;

5 Z is hydrogen, hydroxyl, C<sub>1-6</sub>alkoxy, NR<sup>6</sup>R<sup>13</sup>, C<sub>1-6</sub>alkyl, C<sub>1-3</sub>alkoxy-C<sub>1-3</sub>alkyl wherein R<sup>13</sup> is R<sup>7</sup> or phenyl optionally substituted with one to three groups independently selected from the group consisting of halogen, cyano, C<sub>1-3</sub> alkyl, C<sub>1-3</sub> haloalkyl and C<sub>1-3</sub> alkoxy;

n is 0 to 3;

o and p are independently 0 to 4 and o + p ≤ 5;

10 q is 0 to 2;

k, r1 and r2 are independently 0 to 4, and 5 ≥ (r1 + r2) ≥ 2; and,

acid addition salts, hydrates and solvates thereof; with the proviso that when R<sup>4</sup> is (CH<sub>2</sub>)<sub>n</sub>R<sup>11</sup>, n is 1 and R<sup>11</sup> is substituted phenyl, R<sup>2</sup> is other than unsubstituted phenyl.

15 12. A method for treating HIV infection according to claim 11 further comprising co-administering at least one compound selected from the group consisting of HIV protease inhibitors, nucleoside reverse transcriptase inhibitors, non-nucleoside reverse transcriptase inhibitors, CCR5 inhibitors and viral fusion inhibitors.

20 13. A method according to claim 12 wherein the reverse transcriptase inhibitor is selected from the group consisting of zidovudine, lamivudine, didanosine, zalcitabine and stavudine, rescriptor, sustiva and viramune and/or the protease inhibitor is selected from the group consisting of saquinavir, ritonavir, nelfinavir, indinavir, amprenavir, lopinavir and atazanavir.

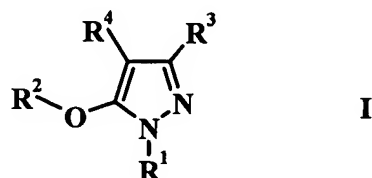
25 14. A method for inhibiting a retrovirus reverse transcriptase comprising administering a compound according to claim 11.

30 15. A method for treating an HIV infection, or preventing an HIV infection, or treating AIDS or ARC, wherein the host is infected with a strain of HIV expressing a reverse transcriptase with at least one mutation, comprising administering to a host in need thereof a therapeutically effective amount of a compound according to claim 11.

16. A method for treating an HIV infection, or preventing an HIV infection, or treating AIDS or ARC, wherein said strain of HIV exhibits reduced susceptibility to efavirenz, delavirdine or nevirapine, comprising administering to a host in need thereof a therapeutically effective amount of a compound according to claim 11.

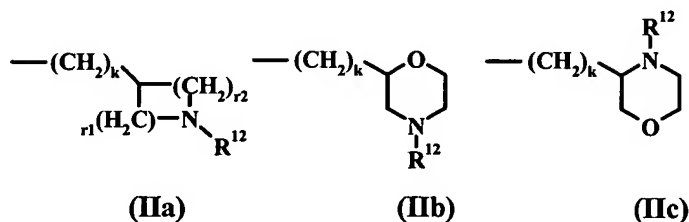
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17. A pharmaceutical composition comprising a therapeutically effective quantity of a compound of formula I



wherein

- 10  $R^1$  is selected from the group consisting of  $C_{1-6}$  alkyl,  $C_{1-6}$ haloalkyl,  $C_{3-6}$ alkenyl,  $C_{3-6}$ alkynyl,  $C_{3-7}$ cycloalkyl,  $C_{1-3}$ alkoxy- $C_{1-3}$ alkyl, phenyl and benzyl, wherein, said phenyl and said benzyl optionally substituted with one to three substituents independently selected from the group consisting of  $C_{1-6}$ alkyl,  $C_{1-6}$ haloalkyl,  $C_{1-6}$ alkoxy,  $C_{1-6}$ haloalkoxy,  $C_{1-6}$ alkylthio, nitro, halogen and cyano;
- 15  $R^2$  is phenyl or pyridyl optionally substituted with one to three groups independently selected from the group consisting of halogen, cyano,  $C_{1-6}$ alkyl,  $C_{1-6}$ alkoxy,  $C_{1-6}$ alkoxycarbonyl, and  $CONR^6R^7$ ;
- $R^3$  is substituted  $C_{1-6}$  alkyl, substituted  $C_{1-3}$ alkoxy- $C_{1-3}$  alkyl, substituted  $C_{3-6}$ alkenyl,  $C_{3-7}$  cycloalkyl, optionally substituted  $C_{1-6}$ alkoxy,  $-(CH_2)_nR^5$ ,  $-CH(OH)R^5$ ,  $-(CH_2)_o-O-(CH_2)_pR^5$ ,  $-NR^6R^7$ ,  $-C(=Y)Z$ ,  $-X(C=Y)Z$  or **IIa-c**;
- 20



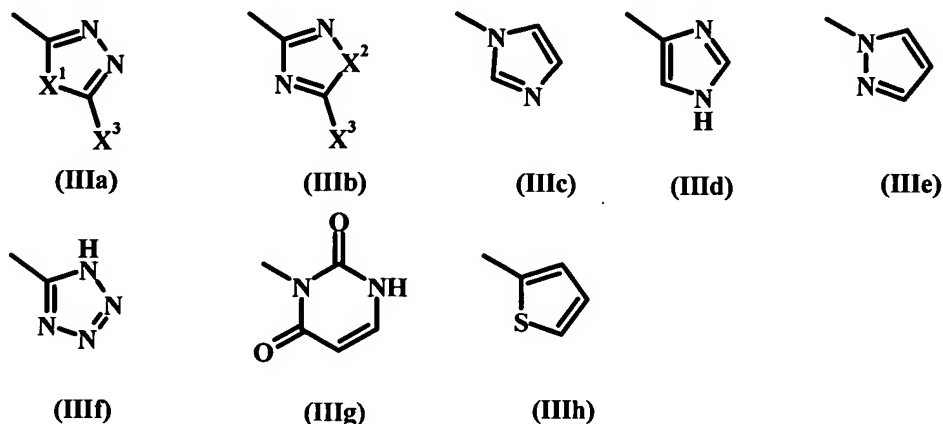
wherein,

- said alkyl, said  $C_{1-3}$ alkoxy- $C_{1-3}$ alkyl and said alkenyl are substituted by  $-OH$ ,  $-NR^6R^7$ ,  $-C(=Y)Z$ ,  $-X(C=Y)Z$ ,  $CN$ ,  $-S(O)_q-C_{1-6}$ alkyl,  $-SO_2NR^6R^7$ ,  $-SO_2NHNH_2$ , or  $-NR^6SO_2-C_{1-6}$ alkyl;
- 25 said alkoxy is optionally substituted by  $-OH$ ,  $-NR^6R^7$ ,  $-C(=Y)Z$ ,  $-X(C=Y)Z$ ,  $-S(O)_q-C_{1-6}$ alkyl,  $-SO_2NR^6R^7$  or  $-SO_2NHNH_2$ ;



$R^{12}$  is hydrogen,  $C_{1-6}$ alkyl or  $-C(=Y)Z$ ;

$R^5$  is a phenyl or a heteroaryl ring according to formula **IIIa-IIIh**;



wherein

5  $X^1$  is selected from the group consisting of  $R^{10}C=CR^{10a}$ , -O-, -S-, -NR<sup>6</sup>- and -CHR<sup>6</sup>;

$X^2$  is selected from the group consisting of  $R^{10}C=CR^{10a}$ , -O-, -S-, and -CHR<sup>6</sup>;

$X^3$  is selected from the group consisting of hydrogen, hydroxyl and thiol;

10  $R^{10}$  and  $R^{10a}$  are independently are selected from the group consisting of hydrogen or  $C_{1-6}$  alkyl optionally substituted with one or two substituents independently selected from the group consisting of hydroxy,  $C_{1-6}$ alkoxy, thiol,  $C_{1-6}$ alkylthio,  $C_{1-6}$  alkylsulfinyl,  $C_{1-6}$ alkylsulfonyl, halogen, amino,  $C_{1-6}$ alkylamino,  $C_{1-3}$ dialkylamino, amino- $C_{1-3}$ alkyl,  $C_{1-3}$ alkylamino- $C_{1-3}$ alkyl, and  $C_{1-3}$ dialkylamino- $C_{1-3}$ alkyl;

15 said phenyl and said heteroaryl ring optionally substituted with halo, -OR<sup>6</sup>, -NR<sup>6</sup>R<sup>7</sup>, -C(=O)Z, -X(C=O)Z

$R^4$  is  $C_{1-6}$ alkyl,  $C_{2-6}$ alkenyl,  $C_{2-6}$ alkynyl,  $C_{3-7}$ cycloalkyl,  $C_{1-3}$ alkoxy- $C_{1-3}$ alkyl,  $-(CH_2)_nR^{11}$  or  $-(CH_2)_6-O-(CH_2)_pR^{11}$ ; wherein,

said alkyl, said alkenyl, said alkynyl and said cycloalkyl are optionally substituted by -OH, -OR<sup>6</sup>, -NR<sup>8</sup>R<sup>9</sup>, -C(=Y)Z, -X(C=Y)Z, -S(O)<sub>q</sub>- $C_{1-6}$ alkyl, -SO<sub>2</sub>NR<sup>6</sup>R<sup>7</sup> or -SO<sub>2</sub>NHNH<sub>2</sub>;

20  $R^{11}$  is a phenyl or a heteroaryl ring selected from the group consisting of pyridinyl, pyrimidinyl, pyrazinyl, pyrrole, imidazole, pyrazole and thiophene, said heteroaryl ring and said phenyl optionally substituted with one to three groups independently selected from the group consisting of halogen, cyano,  $C_{1-3}$  alkyl,  $C_{1-3}$  haloalkyl and  $C_{1-3}$  alkoxy; or  $R^{11}$  is  $N[(CH_2)_2]_2W$  wherein W is selected from the group consisting of NR<sup>6</sup>,  $(CH_2)_s$ , -N(C=O)Z, CHOR<sup>6</sup>, CHR<sup>6</sup> CHNHC(=O)Z and CHNR<sup>6</sup>R<sup>7</sup>;

25 n, o, p and q are as defined below and s is 0 or 1;

$R^6$ ,  $R^7$ ,  $R^8$  and  $R^9$  (i) taken independently are hydrogen,  $C_{1-6}$ alkyl,  $C_{1-6}$ hydroxyalkyl,  $C_{1-3}$ alkoxy-  
 $C_{1-3}$ alkyl  $C_{1-3}$ alkylamino- $C_{1-3}$ alkyl or  $C_{1-3}$ dialkylamino- $C_{1-3}$ alkyl or (ii) when both  $R^6$  and  $R^7$   
are attached to the same nitrogen atom they may be taken together, along with the nitrogen,  
to form a pyrrolidine, piperidine, piperazine or morpholine;  
5 X, and Y are independently O or  $NR^6$ ;  
Z is hydrogen, hydroxyl,  $C_{1-6}$ alkoxy,  $NR^6R^{13}$ ,  $C_{1-6}$ alkyl,  $C_{1-3}$ alkoxy- $C_{1-3}$ alkyl wherein  $R^{13}$  is  $R^7$   
or phenyl optionally substituted with one to three groups independently selected from the  
group consisting of halogen, cyano,  $C_{1-3}$ alkyl,  $C_{1-3}$ haloalkyl and  $C_{1-3}$ alkoxy;  
n is 0 to 3;  
10 o and p are independently 0 to 4 and  $o + p \leq 5$ ;  
q is 0 to 2;  
k, r1 and r2 are independently 0 to 4, and  $5 \geq (r1 + r2) \geq 2$ ; and,  
acid addition salts, hydrates and acid addition salts, hydrates and solvates thereof, with the proviso  
that when  $R^4$  is  $(CH_2)_nR^{11}$ , n is 1 and  $R^{11}$  is substituted phenyl,  $R^2$  is other than unsubstituted phenyl,  
15 in admixture with at least one pharmaceutically acceptable carrier or diluent sufficient upon  
administration in a single or multiple dose regimen for treating diseases mediated by human  
immunodeficiency virus or for inhibiting HIV.

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